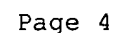


05/10/2006

L1 STR



The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 10 May 2006 VOL 144 ISS 20
FILE LAST UPDATED: 9 May 2006 (20060509/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/infopolicy.html>

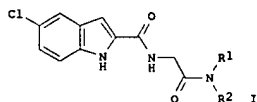
=> s 12

L3

15 L2

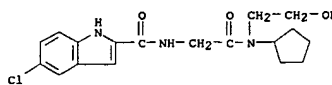
=> d ibib abs hitstr 1-15

L3 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2004:1124988 CAPLUS
 DOCUMENT NUMBER: 142:197810
 TITLE: 5-Chloroindolyl glycine amide inhibitors of glycogen phosphorylase: synthesis, in vitro, in vivo, and X-ray crystallographic characterization
 AUTHOR(S): Wright, Stephen W.; Rath, Virginia L.; Genereux, Paul E.; Hageman, David L.; Levy, Carolyn B.; McClure, Lester D.; McCoid, Scott C.; McPherson, R. Kirk; Schelhorn, Teresa M.; Wilder, Donald E.; Zavadoski, William J.; Gibbs, E. Michael; Treadway, Judith L.
 CORPORATE SOURCE: Pfizer Global Research and Development, Groton, CT, 06340, USA
 SOURCE: Bioorganic & Medicinal Chemistry Letters (2005), 15(2), 459-465
 CODEN: BMCL88; ISSN: 0960-894X
 PUBLISHER: Elsevier B.V.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 142:197810
 GI

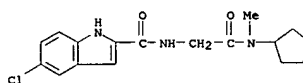


AB The synthesis and in vitro and in vivo biol. characterization of a series of achiral 5-chloroindolyl glycine amides I (R1 = Me, cyclopentyl, HOC(CH2)2; R2 = Me2CHCH2, Ph, cycloheptyl, H2N(CH2)3, etc.) as inhibitors of human liver glycogen phosphorylase A are described. Improved potency over previously reported compds. in cellular and in vivo assays was observed. The allosteric binding site of these compds. was shown by X-ray crystallog. to be the same as that reported previously for 5-chloroindolyl norstatine amides.
 IT 839701-52-9D complex with glycogen phosphorylase A
 RL: PRP (Properties)
 (crystal structure; preparation of N-carbamoylmethyl indolecarboxamides as human liver glycogen phosphorylase inhibitors)
 RN 839701-52-9 CAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(cyclopentyl(2-hydroxyethyl)amino)-2-oxoethyl]- (9CI) (CA INDEX NAME)

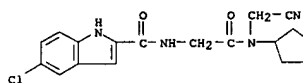
L3 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



IT 839700-98-0P 839701-46-1P 839701-50-7P
 839701-52-9P 839701-63-2P 839702-33-9P
 839702-45-3P
 RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation of N-carbamoylmethyl indolecarboxamides as human liver glycogen phosphorylase inhibitors)
 RN 839700-98-0 CAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(cyclopentylmethylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)

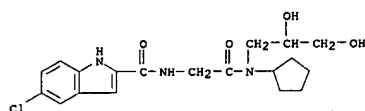


RN 839701-46-1 CAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(cyanomethyl)cyclopentylamino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

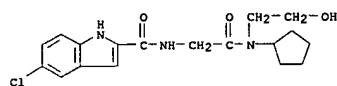


RN 839701-50-7 CAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(cyclopentyl(2,3-dihydroxypropyl)amino)-2-oxoethyl]- (9CI) (CA INDEX NAME)

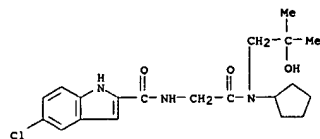
L3 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



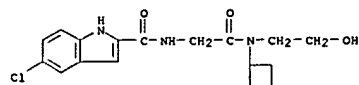
RN 839701-52-9 CAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(cyclopentyl(2-hydroxyethyl)amino)-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 839701-63-2 CAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(cyclopentyl(2-hydroxy-2-methylpropyl)amino)-2-oxoethyl]- (9CI) (CA INDEX NAME)

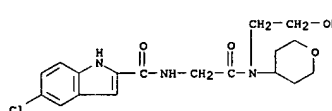


RN 839702-33-9 CAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(cyclobutyl(2-hydroxyethyl)amino)-2-oxoethyl]- (9CI) (CA INDEX NAME)

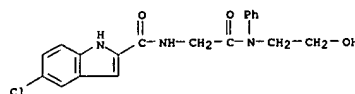


RN 839702-45-3 CAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[(2-hydroxyethyl)(tetrahydro-2H-pyran-4-yl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

L3 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

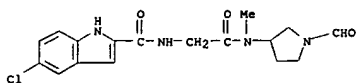


IT 599177-73-8P 839700-96-8P 839701-02-9P
 839701-04-1P 839701-06-3P 839701-10-9P
 839701-12-1P 839701-14-3P 839701-16-5P
 839701-20-1P 839701-24-5P 839701-36-9P
 839701-38-1P 839701-40-5P 839701-44-9P
 839701-48-3P 839701-54-1P 839701-56-3P
 839701-58-5P 839701-59-6P 839701-61-0P
 839701-65-4P 839701-67-6P 839701-69-8P
 839701-71-2P 839701-73-4P 839701-75-6P
 839701-76-7P 839701-78-9P 839701-80-3P
 839701-82-5P 839701-84-7P 839701-86-1P
 839701-90-5P 839701-92-7P 839701-94-9P
 839701-96-1P 839701-98-3P 839702-00-0P
 839702-02-2P 839702-04-4P 839702-06-6P
 839702-08-8P 839702-10-2P 839702-12-4P
 839702-14-6P 839702-16-8P 839702-18-0P
 839702-20-4P 839702-22-6P 839702-24-8P
 839702-26-0P 839702-28-2P 839702-41-9P
 839702-53-3P 839702-61-3P 839702-65-7P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation of N-carbamoylmethyl indolecarboxamides as human liver glycogen phosphorylase inhibitors)
 RN 599177-73-8 CAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[(2-hydroxyethyl)phenylamino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

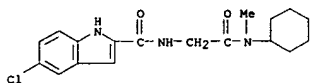


RN 839700-96-8 CAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[(1-formyl-3-pyrrolidinyl)methylamino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

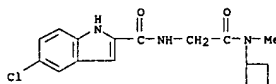
L3 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



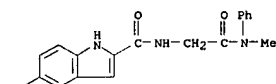
RN 839701-02-9 CAPLUS
CN 1H-Indole-2-carboxamide,
5-chloro-N-[2-(cyclohexylmethylamino)-2-oxoethyl]-
(9CI) (CA INDEX NAME)



RN 839701-04-1 CAPLUS
CN 1H-Indole-2-carboxamide,
5-chloro-N-[2-(cyclobutylmethylamino)-2-oxoethyl]-
(9CI) (CA INDEX NAME)

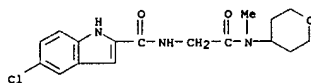


RN 839701-06-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(methylphenylamino)-2-oxoethyl]-
(9CI) (CA INDEX NAME)

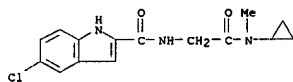


RN 839701-10-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(cycloheptylmethylamino)-2-oxoethyl]-
(9CI) (CA INDEX NAME)

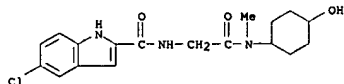
L3 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



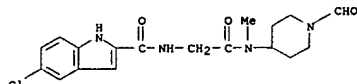
RN 839701-24-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(cyclopropylmethylamino)-2-oxoethyl]-
(9CI) (CA INDEX NAME)



RN 839701-36-9 CAPLUS
CN 1H-Indole-2-carboxamide,
5-chloro-N-[2-((4-hydroxycyclohexyl)methylamino)-2-oxoethyl]-
(9CI) (CA INDEX NAME)

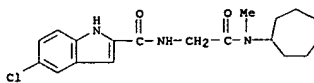


RN 839701-38-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-((1-formyl-4-piperidinyl)methylamino)-2-oxoethyl]-
(9CI) (CA INDEX NAME)

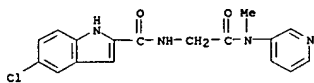


RN 839701-40-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(methyl(1-methyl-3-pyrrolidinyl)amino)-2-oxoethyl]-
(9CI) (CA INDEX NAME)

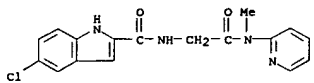
L3 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



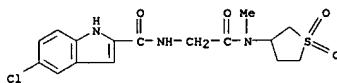
RN 839701-12-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(methyl-3-pyridinylamino)-2-oxoethyl]-
(9CI) (CA INDEX NAME)



RN 839701-14-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(methyl-2-pyridinylamino)-2-oxoethyl]-
(9CI) (CA INDEX NAME)

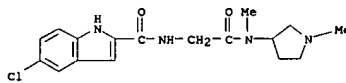


RN 839701-16-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(methyl(tetrahydro-1,1-dioxido-3-thienyl)amino)-2-oxoethyl]-
(9CI) (CA INDEX NAME)

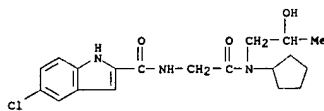


RN 839701-20-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(methyl(tetrahydro-2H-pyran-4-yl)amino)-2-oxoethyl]-
(9CI) (CA INDEX NAME)

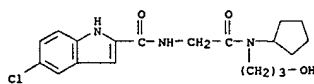
L3 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



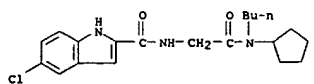
RN 839701-44-9 CAPLUS
CN 1H-Indole-2-carboxamide,
5-chloro-N-[2-(cyclopentyl(2-hydroxypropyl)amino)-2-oxoethyl]-
(9CI) (CA INDEX NAME)



RN 839701-48-3 CAPLUS
CN 1H-Indole-2-carboxamide,
5-chloro-N-[2-(cyclopentyl(3-hydroxypropyl)amino)-2-oxoethyl]-
(9CI) (CA INDEX NAME)

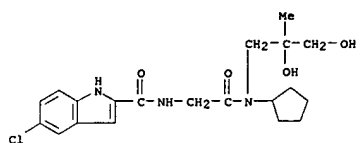


RN 839701-54-1 CAPLUS
CN 1H-Indole-2-carboxamide,
N-[2-(butylcyclopentylamino)-2-oxoethyl]-5-chloro-
(9CI) (CA INDEX NAME)

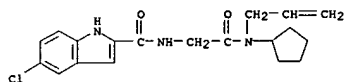


RN 839701-56-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(cyclopentyl(2,3-dihydroxy-2-methylpropyl)amino)-2-oxoethyl]-
(9CI) (CA INDEX NAME)

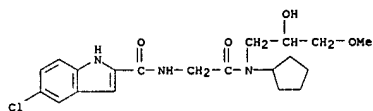
L3 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



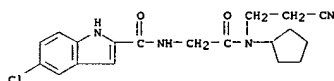
RN 839701-58-5 CAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(cyclopentyl-2-propenylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 839701-59-6 CAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(cyclopentyl-2-hydroxy-3-methoxypropylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)

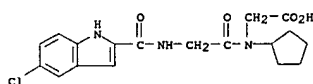


RN 839701-61-0 CAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(2-cyanoethyl)cyclopentylamino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

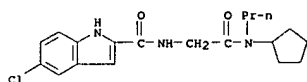


L3 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

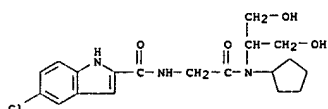
RN 839701-73-4 CAPLUS
 CN Glycine, 5-chloro-1H-indole-2-carboxylglycyl-N-cyclopentyl- (9CI) (CA INDEX NAME)



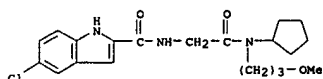
RN 839701-75-6 CAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(cyclopentylpropylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 839701-76-7 CAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(cyclopentyl-2-hydroxy-1-(hydroxymethyl)ethylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)



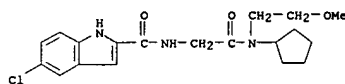
RN 839701-78-9 CAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(cyclopentyl-3-methoxypropylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)



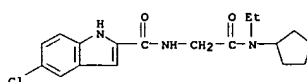
RN 839701-80-3 CAPLUS
 CN Glycinamide, 5-chloro-1H-indole-2-carboxylglycyl-N2-cyclopentyl-N,N-dimethyl- (9CI) (CA INDEX NAME)

L3 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

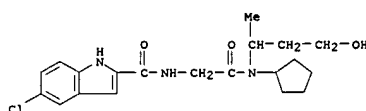
RN 839701-65-4 CAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(cyclopentyl-2-methoxyethylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)



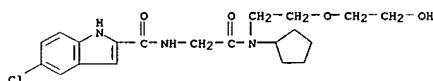
RN 839701-67-6 CAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(cyclopentylethylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)



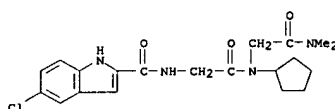
RN 839701-69-8 CAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(cyclopentyl-3-hydroxy-1-methylpropylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)



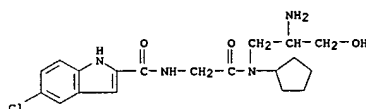
RN 839701-71-2 CAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(cyclopentyl-2-(2-hydroxyethoxy)ethylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)



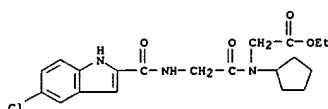
L3 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



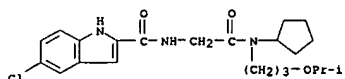
RN 839701-82-5 CAPLUS
 CN 1H-Indole-2-carboxamide, N-[2-[(2-amino-3-hydroxypropyl)cyclopentylamino]-2-oxoethyl]-5-chloro- (9CI) (CA INDEX NAME)



RN 839701-84-7 CAPLUS
 CN Glycine, 5-chloro-1H-indole-2-carboxylglycyl-N-cyclopentyl-, ethyl ester (9CI) (CA INDEX NAME)

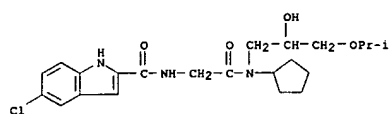


RN 839701-88-1 CAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(cyclopentyl-3-(1-methylethoxy)propylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)

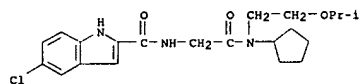


RN 839701-90-5 CAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(cyclopentyl-2-hydroxy-3-(1-methylethoxy)propylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)

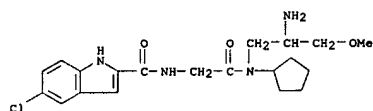
L3 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



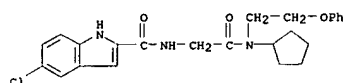
RN 839701-92-7 CAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-((cyclopentyl(2-(1-methylethoxy)ethyl)amino)-2-oxoethyl)- (9CI) (CA INDEX NAME)



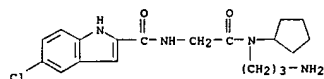
RN 839701-94-9 CAPLUS
 CN 1H-Indole-2-carboxamide, N-[2-((2-amino-3-methoxypropyl)cyclopentylamino)-2-oxoethyl]-5-chloro- (9CI) (CA INDEX NAME)



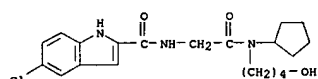
RN 839701-96-1 CAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-((2-phenoxethyl)amino)-2-oxoethyl]- (9CI) (CA INDEX NAME)



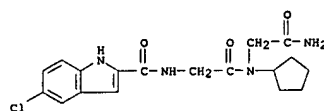
L3 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



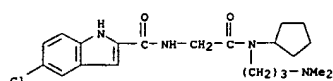
RN 839702-06-6 CAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-((2-amino-3-methoxypropyl)cyclopentylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 839702-08-8 CAPLUS
 CN Glycinamide, 5-chloro-1H-indole-2-carboxylglycyl-N2-cyclopentyl- (9CI) (CA INDEX NAME)



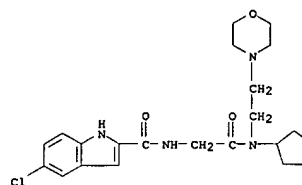
RN 839702-10-2 CAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-((2-amino-3-methoxypropyl)cyclopentylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)



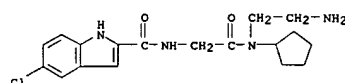
RN 839702-12-4 CAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-((2-amino-3-methoxypropyl)cyclopentylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)

L3 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

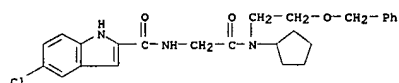
RN 839701-98-3 CAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-((2-amino-3-methoxypropyl)cyclopentylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 839702-00-0 CAPLUS
 CN 1H-Indole-2-carboxamide, N-[2-((2-aminoethyl)cyclopentylamino)-2-oxoethyl]-5-chloro- (9CI) (CA INDEX NAME)

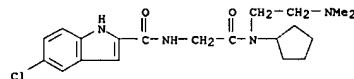


RN 839702-02-2 CAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-((2-aminoethyl)cyclopentylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)

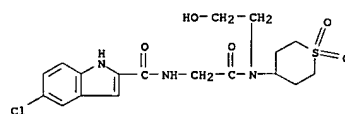


RN 839702-04-4 CAPLUS
 CN 1H-Indole-2-carboxamide, N-[2-((3-aminopropyl)cyclopentylamino)-2-oxoethyl]-5-chloro- (9CI) (CA INDEX NAME)

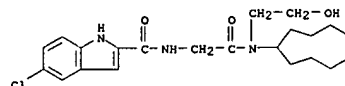
L3 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



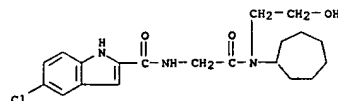
RN 839702-14-6 CAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-((2-aminoethyl)cyclopentylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 839702-16-8 CAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-((2-aminoethyl)cyclopentylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)

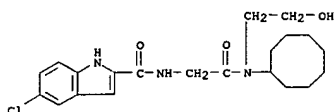


RN 839702-18-0 CAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-((2-aminoethyl)cyclopentylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)

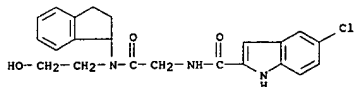


RN 839702-20-4 CAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-((2-aminoethyl)cyclopentylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)

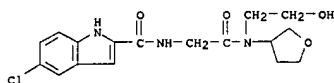
L3 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



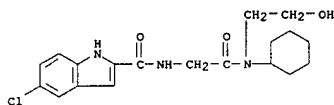
RN 839702-22-6 CAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-((2,3-dihydro-1H-inden-1-yl)(2-hydroxyethyl)amino)-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 839702-24-8 CAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-((2-hydroxyethyl)(tetrahydro-3-furanyl)amino)-2-oxoethyl]- (9CI) (CA INDEX NAME)

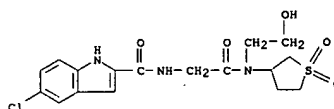


RN 839702-26-0 CAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-((cyclohexyl)(2-hydroxyethyl)amino)-2-oxoethyl]- (9CI) (CA INDEX NAME)



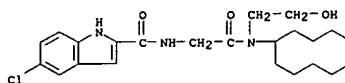
RN 839702-28-2 CAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-((cyclohexyl)(2-hydroxyethyl)amino)-2-oxoethyl]- (9CI) (CA INDEX NAME)

L3 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

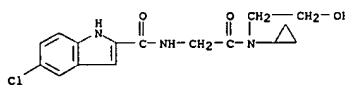


REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

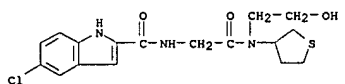
L3 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



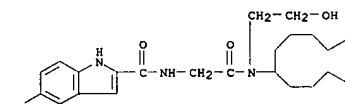
RN 839702-41-9 CAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-((cyclopropyl)(2-hydroxyethyl)amino)-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 839702-53-3 CAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-((2-hydroxyethyl)(tetrahydro-3-thienyl)amino)-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 839702-61-3 CAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-((cyclohexyl)(2-hydroxyethyl)amino)-2-oxoethyl]- (9CI) (CA INDEX NAME)



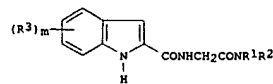
RN 839702-65-7 CAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-((2-hydroxyethyl)(tetrahydro-1,1-

L3 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:719448 CAPLUS
 DOCUMENT NUMBER: 139:245896
 TITLE: Preparation of N-carbamoylmethylindolecarboxamides as glycogen phosphorylase inhibitors
 INVENTOR(S): Morley, Andrew David
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
 SOURCE: PCT Int. Appl., 34 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

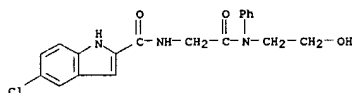
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003074485	A2	20030912	WO 2003-GB936	20030304
WO 2003074485	A3	20031204		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003212515	A1	20030916	AU 2003-212515	20030304
EP 1483239	A2	20041208	EP 2003-1483239	20030304
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IL, IN, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, BA, HU, SK				
US 2005159472	A1	20050721	US 2003-506592	20030304
JP 2005526054	T2	20050902	JP 2003-572955	20030304
PRIORITY APPLN. INFO.: GB 2002-5166 A 20020306				
WO 2003-GB936 W 20030304				

OTHER SOURCE(S): MARPAT 139:245896
 GI



AB Title compds. I [R1 = alkyl, cycloalkyl, cycloalkylalkyl, alkoxy, cycloalkoxy, cycloalkylalkoxy, heterocyclyl, heterocyclylalkyl, heterocyclyloxy, heterocyclylalkoxy, each substituted by 1-3 OH; R2 = (un)substituted Ph, heteroaryl; R3 = H, halo, NO2, CN, OH, CO2H, CONH2, alkyl, alkenyl, alkynyl, alkoxy, alkanoyl, FCH2, F2CH, F3C; m = 0-2] were prepared for use as glycogen phosphorylase inhibitors in treatment

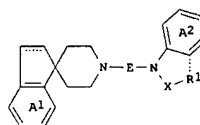
L3 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 type 2 diabetes, insulin resistance, syndrome X, hyperinsulinemia,
 hyperglucagonemia, cardiac ischemia, and obesity. Thus, I (R1 =
 CH2CH2OH,
 R2 = Ph, R3 = 5-Cl) was prepd. by amidating N-[(5-chloro-1H-indol-2-
 yl)carbonyl]glycine with PhNHCH2CH2OH and has IC50 0.55 μ M for
 inhibition of glycogen phosphorylase.
 IT 599177-73-8P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)
 (preparation of N-carbamoylmethylindolecarboxamides as glycogen
 phosphorylase inhibitors)
 RN 599177-73-8 CAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[(2-hydroxyethyl)phenylamino]-2-
 oxoethyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2002:256237 CAPLUS
 DOCUMENT NUMBER: 136:294733
 TITLE: Preparation of spiro compounds as nociceptin receptor
 binders
 INVENTOR(S): Arai, Toshimitsu; Nishikimi, Yuji; Imamura, Shinichi;
 Kamiyama, Keiji; Kobayashi, Makoto
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
 SOURCE: PCT Int. Appl., 112 pp.
 CODEN: P1XXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

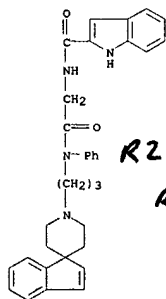
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002026714	A1	20020404	WO 2001-JP8281	20010925
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GM, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001088110	A5	20020408	AU 2001-88110	20010925
JP 2002173485	A2	20020621	JP 2001-291794	20010925
PRIORITY APPLN. INFO.:				JP 2000-293876 A 20000927
				WO 2001-JP8281 W 20010925

OTHER SOURCE(S): MARPAT 136:294733
 GI



AB The title compds. I (A1 and A2 are each an optionally substituted benzene ring; E is a divalent chain hydrocarbon group which may be substituted; X is CO or the like; R1 is an optionally substituted hydrocarbon group or the like, or alternatively R1 may be bonded to a ring-constituting carbon atom of A2 to form a fused ring; and the dotted line represents a single or double bond; a proviso is given) are prepared Processes for preparing I are

L3 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 claimed. In an in vitro test for affinity for the nociceptin receptor,
 N-[3-(1H-indene-1-spiro-4'-piperidin-1'-yl)propyl]-1-methyl-5-oxo-N-phenyl-
 3-pyrrolidinecarboxamide fumarate at 1 μ M gave 95% binding inhibition.
 Formulations are given.
 IT 407633-18-5P 407633-21-0P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)
 (preparation of spiro compds. as nociceptin receptor binders)
 RN 407633-18-5 CAPLUS
 CN 1H-Indole-2-carboxamide, N-[2-oxo-2-[phenyl(3-spiro[1H-indene-1,4'-
 piperidin]-1'-yl)propyl]amino]ethyl]- (9CI) (CA INDEX NAME)



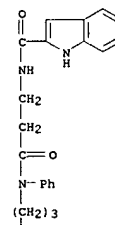
R2 = Ph
 R1 = (CH2)3 - Het

(No -OH group)

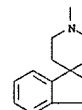
RN 407633-21-0 CAPLUS
 CN 1H-Indole-2-carboxamide, N-[3-oxo-3-[phenyl(3-spiro[1H-indene-1,4'-
 piperidin]-1'-yl)propyl]amino]propyl]- (9CI) (CA INDEX NAME)

L3 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE
 FORMAT

X

L3 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:554794 CAPLUS

DOCUMENT NUMBER: 135:132447

TITLE: Chloroindolephenylethylamide analogs and their prodrugs as glycogen phosphorylase inhibitors for treatment of diabetic cardiomyopathy

INVENTOR(S): Treadway, Judith Lee

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: Jpn. Kokai Tokkyo Koho, 35 pp. CODEN: JKKXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001206856	A2	20010731	JP 2001-14036	20010123
NZ 509481	A	20050225	NZ 2001-509481	20010119
CA 2331847	AA	20010724	CA 2001-2331847	20010122
ZA 200100607	A	20020722	ZA 2001-607	20010122
EP 1125580	A2	20010822	EP 2001-300575	20010123
EP 1125580	A3	20021127		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 2001046958	A1	20011129	US 2001-767633	20010123
US 6867184	B2	20050315		

PRIORITY APPLN. INFO.: US 2000-177770P P 20000124

AB Chloroindolephenylethylamide analogs, including 5-chloro-1H-indole-2-carboxylic acid [(1S)-[(R)-hydroxydimethylcarbamoylmethyl]-2-phenylethyl]amide, etc., and their prodrugs are claimed as glycogen phosphorylase inhibitors for treatment of diabetic cardiomyopathy. The title compds. can also combine with insulin, insulin analogs

(biquanides)

α2-antagonists, imidazolines, glitazone derivs., PPARγ agonists, fatty acid oxidation inhibitors, α-glucosidase inhibitors, β-agonists, phosphodiesterase inhibitors, hypolipidemics, antiobesity agents, vanadium salts, glucagon antagonists, somatostatin analogs, aldose reductase inhibitors, sorbitol dehydrogenase inhibitors, glucocorticoid receptor antagonists, and/or thyroid hormone analogs for treatment of diabetes, cardiovascular diseases, heart ischemia, congestive heart failure, hypertension, diabetic angiopathy, myocardial infarction, etc.

IT 186392-67-6

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological

study, unclassified); THU (Therapeutic use); BIOL (Biological study);

USES

(Uses)

(Chloroindolephenylethylamide analogs and their prodrugs as glycogen phosphorylase inhibitors for treatment of diabetic cardiomyopathy and other cardiovascular diseases)

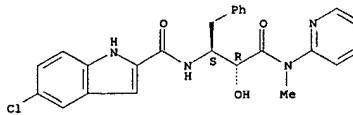
RN 186392-67-6 CAPLUS

CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1S,2R)-2-hydroxy-3-(methyl-2-pyridinylamino)-3-oxo-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

L3 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

(Continued)

Absolute stereochemistry.



No -OH

X

L3 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:900268 CAPLUS

DOCUMENT NUMBER: 134:42061

TITLE: Preparation of an α-hydroxy-β-indolylcarbamoyl-γ-phenylbutyric acid

INVENTOR(S): DeVries, Keith Michael; Hammen, Philip Dietrich; Fox, Darrell Eugene; Jorgensen, Jeffery Brian; Hoover, Dennis Jay

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: Eur. Pat. Appl., 19 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

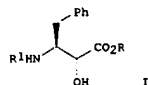
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1061074	A1	20001220	EP 2000-305048	20000614
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6410750	B1	20020625	US 2000-565523	20000505
ZA 200002987	A	20011214	ZA 2000-2987	20000614
IN 188853	A	20021116	IN 2000-MU552	20000614
JP 2001039949	A2	20010213	JP 2000-179880	20000615
JP 3342471	B2	20021111		
CA 2311872	AA	20001218	CA 2000-2311872	20000616
TR 200001781	A2	20010122	TR 2000-200001781	20000616
CN 1283615	A	20010214	CN 2000-118397	20000616
RU 2195450	C2	20021227	RU 2000-115273	20000616
BR 2000002686	A	20010821	BR 2000-2686	20000619

PRIORITY APPLN. INFO.: US 1999-139997P P 19990618

OTHER SOURCE(S):

CASREACT 134:42061; MARPAT 134:42061

GI



AB The title compound (I; R = H, R1 = 5-chloro-2-indolylcarboxyl) was prepared by condensation of 5-chloro-2-indolylcarboxyl chloride with I (R = monovalent cation, R1 = H).

IT 186392-67-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of an α-hydroxy-β-indolylcarbamoyl-γ-phenylbutyric acid)

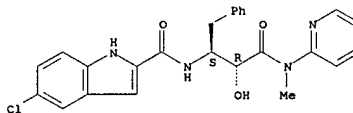
RN 186392-67-6 CAPLUS

CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1S,2R)-2-hydroxy-3-(methyl-2-pyridinylamino)-3-oxo-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

(Continued)



REFERENCE COUNT: 2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

No -OH

X

L3 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2006 ACS ON STN
 ACCESSION NUMBER: 1998:424263 CAPLUS
 DOCUMENT NUMBER: 129:95714
 TITLE: Preparation of new heterocyclic amides as nitric oxide production inhibitors
 INVENTOR(S): Yatabe, Takumi; Inoue, Takayuki; Hamashima, Hitoshi; Shima, Ichiro; Ohne, Kazuhiko; Yoshihara, Kousei;
 Oku, Teruo
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan; Itoh, Yoshikuni
 SOURCE: PCT Int. Appl., 533 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

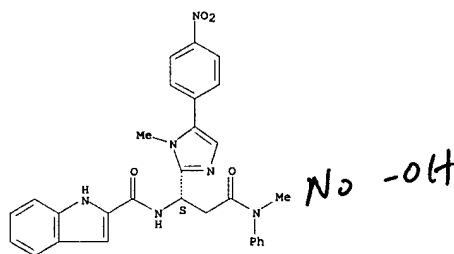
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9827108	A2	19980625	WO 1997-JP4243	19971120
WO 9827108	A3	19980730		
W: AU, CA, CN, HU, IL, JP, KR, MX, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9749680	A1	19980715	AU 1997-49680	19971120
EP 946587	A2	19991006	EP 1997-912529	19971120
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001505585	T2	20010424	JP 1998-527528	19971120
ZA 9710603	A	19980625	ZA 1997-10603	19971125
PRIORITY APPLN. INFO.:			AU 1996-4219	A 19961216
			AU 1997-5929	A 19970401
			AU 1997-9030	A 19970909
			WO 1997-JP4243	W 19971120

OTHER SOURCE(S): MARPAT 129:95714
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [X = S, NR9; Y = CHR3, (un)substituted phenylene; R1 = (un)substituted indolyl, (un)substituted benzofuranyl; R2 = H, phenyl-lower alkyl; R3 = H, (CH2)NR6; R4 = H, (un)substituted Ph, (un)substituted pyridyl; R5 = H, imidazolyl, Ph, nitrophenyl, phenyl-lower alkyl, optionally esterified carboxy, CONR7R8; R4R5 = CH:CHCH:CH; R6 = optionally protected OH, acyl, carboxy, acylamino, lower alkoxy,

L3 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)

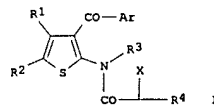


L3 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)
 phenyl-lower alkoxy, lower alkylthio, (un)substituted Ph; R7, R8 = independently H, Ph, phenyl-lower alkyl, lower alkyl, lower alkoxy; R9 = H, lower alkyl, lower cycloalkyl, (un)substituted benzyl; m = 0, 1; n = 0-3) and pharmaceutically acceptable salts thereof are described as strong inhibitors of the prodn. of nitric oxide. Comps. I are useful for prevention and treatment of nitric oxide-mediated diseases such as adult respiratory distress syndrome, cardiovascular ischemia, myocarditis, heart failure, synovitis, shock, diabetes, diabetic nephropathy, diabetic retinopathy, diabetic neuropathy, glomerulonephritis, peptic ulcer, inflammatory bowel disease, cerebral infarction, cerebral ischemia, cerebral hemorrhage, migraine, rheumatoid arthritis, gout, neuritis, post-herpetic neuralgia, osteoarthritis, osteoporosis, systemic lupus erythematosus, rejection by organ transplantation, asthma, metastasis, Alzheimer's disease, arthritis, CNS disorders, dermatitis, hepatitis, liver cirrhosis, multiple sclerosis, pancreatitis, atherosclerosis, and the like in humans and animals. Thus, 2-step cyclocondensation of amino ketone II (prepn. given) with protected 3-(2-pyridyl)-L-alanine and methylamine gave protected imidazole III (Boc = Me3CO2C). Deprotection of III followed by acylation with indole-2-carboxylic acid gave desired compd. IV. IV inhibited nitric oxide prodn. 100% in murine macrophage cell line RAW264.7 at 10-5 M.
 IT 209524-22-1P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of new heterocyclic amides as nitric oxide production inhibitors)
 RN 209524-22-1 CAPLUS
 CN 1H-indole-2-carboxamide, N-[(1S)-1-[1-methyl-5-(4-nitrophenyl)-1H-imidazol-2-yl]-3-(methylphenylamino)-3-oxopropyl]- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

L3 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2006 ACS ON STN
 ACCESSION NUMBER: 1997:425297 CAPLUS
 DOCUMENT NUMBER: 127:50534
 TITLE: Preparation of thienylamide derivatives as cholecystokinin inhibitors
 INVENTOR(S): Sato, Hideaki; Morimoto, Koji; Sueoka, Hiroyuki; Asano, Kiyoshi; Kitajima, Masahiro
 PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 33 pp.
 CODEN: JXOXA
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

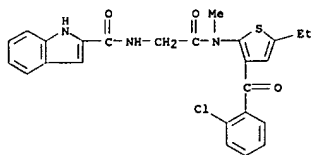
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09151183	A2	19970610	JP 1995-314455	19951201
PRIORITY APPLN. INFO.:			JP 1995-314455	19951201

OTHER SOURCE(S): MARPAT 127:50534
 GI

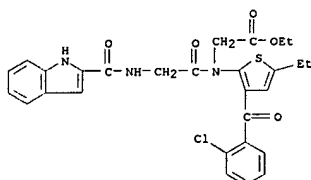


AB The title compds. I [I: R1 = H, halo, Cl-5 alkyl; R2 = H, halo, (un)substituted Cl-5 alkyl, cyano, etc.; R3, R4 = H, Cl-5 alkyl, etc.; X = YZ; Y = NHCO, NHCONH, etc.; Z = aryl, heteroaryl, etc.; Ar = (un)substituted Ph] are prepared I, possessing pancreas enzyme and stomach acid secretion inhibitory activity, are useful for prevention and treatment of digestive system diseases such as pancreatitis and pancreas cancer. Thus, I.HBr (R1 = R4 = H, R2 = Et, R3 = Me, Ar = o-ClC6H4, X = NH2) (preparation given) was reacted with indole-2-carboxylic chloride in the presence of Et3N to give the title compound I (R1 = R4 = H, R2 = Et, R3 = Me, Ar = o-ClC6H4, X = YZ, Y = NHCO, Z = 2-indole), which showed IC50 of 0.26 nM against cholecystokinin-A receptor when tested with rat pancreas in vitro.
 IT 190968-51-5P 190968-75-3P 190968-80-0P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of thienylamide derivs. as cholecystokinin inhibitors)
 RN 190968-51-5 CAPLUS
 CN 1H-Indole-2-carboxamide, N-[2-[(1S)-[3-(2-chlorobenzoyl)-5-ethyl-2-thienyl]methylamino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

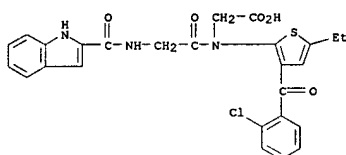
L3 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 190968-75-3 CAPLUS
 CN Glycine, 1H-indole-2-carboxylglycyl-N-[3-(2-chlorobenzoyl)-5-ethyl-2-thienyl]-, ethyl ester (9CI) (CA INDEX NAME)



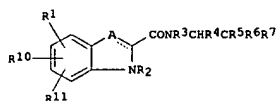
RN 190968-80-0 CAPLUS
 CN Glycine, 1H-indole-2-carboxylglycyl-N-[3-(2-chlorobenzoyl)-5-ethyl-2-thienyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

US 6846820 B2 20050125
 PRIORITY APPLN. INFO.: CA 1995-2223625 A 19950606
 CA 1995-2224062 A3 19950606
 EP 1995-918717 A3 19950606
 EP 1995-918718 A 19950606
 EP 2001-105284 A 19950606
 WO 1995-18443 W 19950606
 US 1997-952668 A3 19971202
 US 2001-881136 A3 20010614

OTHER SOURCE(S): MARPAT 126:131381
 GI



AB Title compds. [I: dotted line = optional double bond; A = CH, CR2O, CH2, CHR2; R20 = alkyl, halo; R21 = alkyl; R1, R10, R11 = H, halo, NO2, cyano, alkyl, alkoxy, CH2F, CHF2, CF3; R2 = H, R3 = H, alkyl; R4 = H, Me, Et, Pr, hydroxyalkyl, alkoxyalkyl, (substituted) phenylalkyl, phenylhydroxyalkyl, thienylalkyl, furylalkyl, pyridylalkyl, thiazolylalkyl, triazinylalkyl, etc.; R5 = H, OH, F, alkyl, alkoxy, alkanoyl, amonoalkoxy, carboxyalkoxy, etc.; R6 = CO2H, alkoxy, carbonyl, CONRR9, COR12; R8 = H, alkyl, OH, alkoxy; R9 = H, (substituted) alkyl, OH, alkoxy, methylene-perfluorinated alkyl, Ph, pyridyl, thienyl, furyl, pyrrolyl, pyrrolidinyl, oxazolyl, thiazolyl, pyranyl, piperidinyl, morpholinyl, pyridazinyl, pyrimidinyl, pyrazinyl, etc.; R12 = piperazin-1-yl, 4-alkylpiperazin-1-yl, thiomorpholino, substituted oxazetidin-2-yl, etc.], were prepared as glycogen phosphorylase inhibitors (no data). Thus, iso-Pr (3S)-amino-4-phenyl-(2R)-hydroxybutyrate, 5-chloroindole-2-carboxylic acid, 1-(3-dimethylaminopropyl)-3-ethylcarbodimide, and 1-hydroxybenzotriazole were stirred 18 h in CH2Cl2 to give 91% iso-Pr (3S)-[(5-chloro-1H-indole-2-carboxyl)amino]-(2R)-hydroxy-4-phenylbutyrate.

IT 186392-67-6P 186392-80-3P 186392-81-4P 186392-82-5P 186392-83-6P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of substituted indole-2-carboxamides and deriva. as glycogen phosphorylase inhibitors)

L3 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

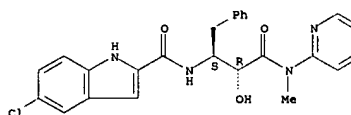
ACCESSION NUMBER: 1997:124408 CAPLUS
 DOCUMENT NUMBER: 126:131381
 TITLE: Preparation of substituted indole-2-carboxamides and derivatives as glycogen phosphorylase inhibitors.
 INVENTOR(S): Hulin, Bernard; Hoover, Dennis J.; Treadway, Judith L.; Martin, William H.
 PATENT ASSIGNEE(S): Pfizer Inc., USA; Hulin, Bernard; Hoover, Dennis J.; Treadway, Judith L.; Martin, William H.
 SOURCE: PCT Int. Appl., 119 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9639385	A1	19961212	WO 1995-18443	19950606
W: CA, FI, JP, MX, US				
RM: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2342471	AA	19961212	CA 1995-2342471	19950606
CA 2342471	C	20021029		
EP 832066	A1	19980401	EP 1995-918718	19950606
EP 832066	B1	20010912		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
JP 11500445	T2	19990112	JP 1997-500245	19950606
JP 3068200	B2	20000724		
AT 205477	E	20010915	AT 1995-918718	19950606
EP 1134213	A2	20010919	EP 2001-105284	19950606
EP 1134213	A3	20020417		
EP 1134213	B1	20051102		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
ES 2161291	T3	20011201	ES 1995-918718	19950606
PT 832066	T	20011228	PT 1995-918718	19950606
ES 2164151	T3	20020216	ES 1995-918717	19950606
PT 832065	T	20020228	PT 1995-918717	19950606
AT 308521	E	20051115	AT 2001-105284	19950606
LV 11614	B	19970420	LV 1996-173	19960604
BR 9602626	A	19980901	BR 1996-2626	19960604
NO 9602322	A	19961209	NO 1996-2322	19960605
NO 307335	B1	20000320		
AU 9654753	A1	19961219	AU 1996-54753	19960605
AU 700887	B2	19990114		
ZA 9604646	A	19971205	ZA 1996-4646	19960605
RU 2159613	C2	20001127	RU 1996-111013	19960605
CZ 289233	B6	20011212	CZ 1996-1627	19960605
HR 960266	B1	20020831	HR 1996-960266	19960606
US 450961	B	20010821	TW 1996-85107435	19960619
US 6297269	B1	20011002	US 1997-952668	19971202
FI 9704437	A	19971205	FI 1997-4437	19971205
US 2002028810	A1	20020307	US 2001-881136	20010614
US 6649634	B2	20031118		
GR 3037075	T3	20020131	GR 2001-401947	20011030
CN 1374082	A	20021016	CN 2002-106667	20020305
US 2004006088	A1	20040108	US 2003-464728	20030617

L3 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

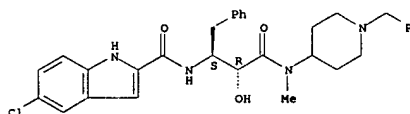
RN 186392-67-6 CAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1S,2R)-2-hydroxy-3-(methyl-2-pyridinylamino)-3-oxo-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



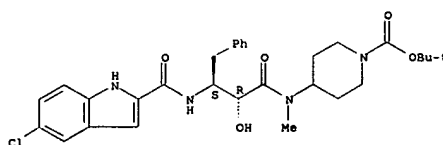
RN 186392-80-3 CAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-hydroxy-3-[methyl(1-(phenylmethyl)-4-piperidinylamino)-3-oxo-1-(phenylmethyl)propyl]-, (R-(R*,S*))]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 186392-81-4 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[3-[(5-chloro-1H-indol-2-yl)carbonyl]amino]-2-hydroxy-1-oxo-4-phenylbutyl]methylamino]-, 1,1-dimethylethyl ester, (R-(R*,S*))]- (9CI) (CA INDEX NAME)

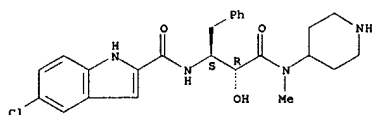
Absolute stereochemistry.



RN 186392-82-5 CAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-hydroxy-3-(methyl-4-piperidinylamino)-3-oxo-1-(phenylmethyl)propyl]-, monohydrochloride, (R-(R*,S*))]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

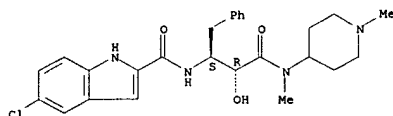
L3 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

RN 186392-83-6 CAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-hydroxy-3-[methyl(1-methyl-4-piperidinyl)amino]-3-oxo-1-(phenylmethyl)propyl]-, monohydrochloride, [R-(R*,S*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

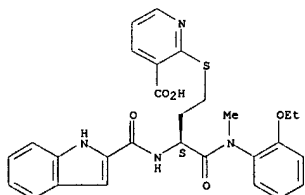
L3 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 p)2 (R = 2-indolecarbonyl, R = 3-carboxy-2-pyridyl) in vitro showed IC50 of 0.012 and 23 μM for inhibiting the binding of [3H]-CCK-8 to CCK-A receptor of rat spleen cell membrane and CCK-B receptor of rat brain cell membrane, resp.

IT 183061-94-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of N-acyl-amino acid amide deriva. as cholecystokinin (CCK) antagonists for treatment of diseases)

RN 183061-94-1 CAPLUS
 CN 3-Pyridinecarboxylic acid, 2-[(4-[(2-ethoxyphenyl)methylamino]-3-[(1H-indol-2-ylcarbonyl)amino]-4-oxobutyl)thio]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L3 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1996:672558 CAPLUS
 DOCUMENT NUMBER: 125:329467
 TITLE: Preparation of N-acyl-amino acid amide derivatives as cholecystokinin (CCK) antagonists
 INVENTOR(S): Ogawa, Masashi; Morita, Tadashi; Matsuda, Sei; Iibuchi, Norihiro; Kidokoro, Shinpei
 PATENT ASSIGNEE(S): Tishahi Pharmaceutical Co, Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 28 pp.
 CODEN: JKOXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08217751	A2	19960827	JP 1995-52086	19950217
PRIORITY APPL. INFO.:			JP 1995-52086	19950217

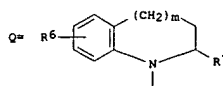
OTHER SOURCE(S): MARPAT 125:329467
 AB R1R2NCOCH[(CH2)nR3]NHCOR4 [n = 1,2; R1 = H, C1-5 alkyl, methylbenzyl, ethylbenzyl, Ph(CH2)3, PhO(CH2)3; R2 = C1-5 alkoxyalkyl, C1-3 alkyl-benzyl, Ph(CH2)3, ethoxyphenyl, PhO(CH2)3, Ph2CHCH2CH2, methoxybenzyl, adamantyl, 10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl; R3 = carboxypyridylthio, carboxyoxazolyl, carbokymethyltetrazolylthio, CH2N3, CH2OH, CH2NH2; R4 = dichlorophenyl, indolyl], which are serine, aspartic acid, and glutamic acid deriva., show potent selective antagonistic inhibition for CCK receptor, and are useful for the treatment of pancreatic cancer, stomach ulcer, duodenal ulcer, peptic ulcer, colitis, loss of liver function, and cute pancreatitis, are prepared
 Thus, 2-Ser(THP)-OH (THP = 2-tetrahydropyranyl, Z = PhCH2O2C) was condensed with Me(CH2)4NH(CH2)3OMe using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride in THF, followed by deprotection with a mixture of 1 N aqueous HCl and THF, to give 2-Ser-N[(CH2)4Me](CH2)3OMe. This compound was tosylated by p-toluenesulfonyl chloride in the presence of Et3N and 4-dimethylaminopyridine in CH2Cl2 to give R-Ser(R1)-N[(CH2)4Me](CH2)3OMe (I; R = Z, R1 = tosyl), which was condensed with 2-mercaptocotinic acid in DMF in the presence of K2CO3 in DMF at 80° for 4 h, followed by methylation with di-Me sulfate at room temperature for 2 h, to give I (R = Z, R1 = 3-methoxycarbonyl-2-pyridyl). The latter compound was treated with 30% HBr in AcOH at room temperature for 20 min, followed by work-up, and condensed with indole-2-carboxylic acid using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and 1-hydroxybenzotriazole in CH2Cl2 to give I (R = 2-indolecarbonyl, R1 = 3-carboxy-2-pyridyl).
 The latter compound in vitro showed IC50 of 0.089 μM for inhibiting CCK-8-induced contraction of guinea pig's ileum. R-Ser(R1)-N(CH2C6H4Me-

L3 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1996:462231 CAPLUS
 DOCUMENT NUMBER: 125:115153
 TITLE: Preparation of (acylamino)acetamide derivatives with agonist activity for cholecystokinin-A receptors
 INVENTOR(S): Dezube, Milana; Hirst, Gavin Charles; Willson, Timothy
 Mark; Sherrill, Ronald George; Sugg, Elizabeth Ellen; Szweczyk, Jerzy Ryszard
 PATENT ASSIGNEE(S): Glaxo Wellcome Inc., USA
 SOURCE: PCT Int. Appl., 121 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9611940	A1	19960425	WO 1995-EP4026	19951012
W: AL, AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM				
RM: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9538418	A1	19960506	AU 1995-38418	19951012
EP 785944	A1	19970730	EP 1995-936483	19951012
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 10511929	T2	19981117	JP 1995-512935	19951012
US 5889182	A	19990330	US 1997-817363	19970414
PRIORITY APPL. INFO.:			GB 1994-20763	19941014
			WO 1995-EP4026	19951012

OTHER SOURCE(S): MARPAT 125:115153
 GI



AB A cholecystokinin-A (CCK-A) agonist of the general formula R1R2NCOCH2NR3COR4 [R1 = C3-6 alkyl, C3-6 cycloalkyl, C3-6 alkenyl, Ph, (CH2)3pCN, (CH2)3pCO2(C1-4 alkyl); R2 = C3-6 alkyl, C3-6 cycloalkyl, C3-6 alkenyl, PhCH2, Ph or Ph mono- or disubstituted independently with C1-3 alkyl, CN, OH, NMe2, O(C1-4 alkyl), OCH2Ph, NH(C1-4 alkyl), CO2(C1-4 alkyl), N(C1-4 alkyl)2, pyrrolidino, morpholino, halo, C1-3 alkyl substituted by 1 or more F; R3 = C1-2 alkyl, R2 = 2- or 4-C6H4R, R = Cl, Me, MeO, CO2Me; R1R2N = Q; R3 = C1-6 alkyl; Ph or Ph substituted by 1 or

L3 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 C1-3 alkyl, C1-4 alkoxy or halo groups, thiophenyl; R4 = CR6R9(CH2)n(NH)p(CO)q(NH)rR5, CH2N(CHR16R17)CO(NR)rR5; R5 = C1-6 alkyl, C3-8 cycloalkyl, Ph, mono- or disubstituted Ph, optionally substituted heteroaryl or bicyclic heteroaryl; R6 = H, optionally substituted C1-3 alkyl; R7 = H, Me; R8 = H, OH, F, NMe2, C1-4 alkoxy, PhCH2O; R9 = H, C1-6 alkyl; R16 = C1-6 alkyl, C3-8 cycloalkyl, optionally halo substituted Ph, pyridyl, pyrimidinyl, thiophenyl; R17 together with R3 form 6-disubstituted Ph ring optionally substituted with halo, CF3, C1-3 alkyl.

C1-4 alkylthio, of C1-4 alkoxy; m = 0-2; n = 0-3; p = 0, 1; q = 0, 1; r = 0, 1 and physiol. acceptable salts thereof. Thus, ureidodipeptide amide PhNHCO-D-Glu-N(Ph)CH2CON(CHMe2)C6H4OMe-4, prep'd. in 4 steps from Boc-D-Glu(OMe3)-OH, PhNH2, and BrCH2CON(CHMe2)C6H4OMe-4, was 55% as active as sulfated CCK-8 in a guinea pig gall bladder assay.

IT 179082-62-3P

RL: BAC (Biological activity or effector, except adverse); BSU

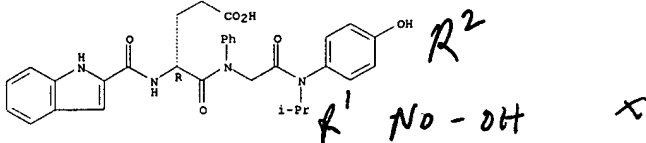
(Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of (acylamino)acetamide derivs. with agonist activity for cholecystokinin-A receptors)

RN 179082-62-3 CAPLUS

CN Glycinamide, N-(1H-indol-2-ylcarbonyl)-D-α-glutamyl-N-(4-hydroxyphenyl)-N-(1-methylethyl)-N2-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



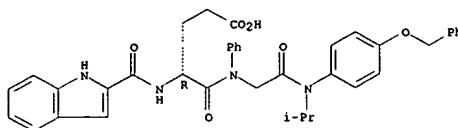
IT 179083-27-3P 179083-40-0P 179083-45-5P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of (acylamino)acetamide derivs. with agonist activity for cholecystokinin-A receptors)

RN 179083-27-3 CAPLUS

CN Glycinamide, N-(1H-indol-2-ylcarbonyl)-D-α-glutamyl-N-(1-methylethyl)-N2-phenyl-N-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

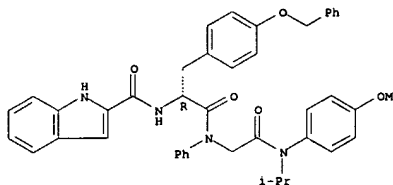
L3 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 179083-40-0 CAPLUS

CN Glycinamide, N-(1H-indol-2-ylcarbonyl)-O-(phenylmethyl)-D-tyrosyl-N-(4-methoxyphenyl)-N-(1-methylethyl)-N2-phenyl- (9CI) (CA INDEX NAME)

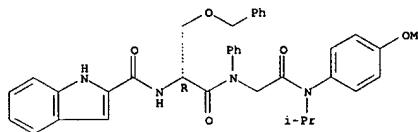
Absolute stereochemistry.



RN 179083-45-5 CAPLUS

CN Glycinamide, N-(1H-indol-2-ylcarbonyl)-O-(phenylmethyl)-D-seryl-N-(4-methoxyphenyl)-N-(1-methylethyl)-N2-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 179082-64-5P 179082-69-0P 179082-75-8P

179082-77-0P

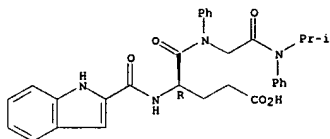
RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of (acylamino)acetamide derivs. with agonist activity for cholecystokinin-A receptors)

L3 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 179082-64-5 CAPLUS

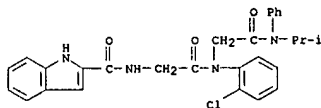
CN Glycinamide, N-(1H-indol-2-ylcarbonyl)-D-α-glutamyl-N-(1-methylethyl)-N,N2-diphenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 179082-69-0 CAPLUS

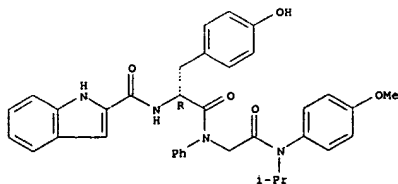
CN Glycinamide, N-(1H-indol-2-ylcarbonyl)glycyl-N2-(2-chlorophenyl)-N-(1-methylethyl)-N-phenyl- (9CI) (CA INDEX NAME)



RN 179082-75-8 CAPLUS

CN Glycinamide, N-(1H-indol-2-ylcarbonyl)-D-tyrosyl-N-(4-methoxyphenyl)-N-(1-methylethyl)-N2-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

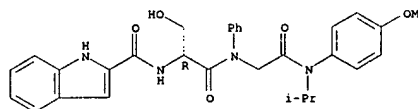


RN 179082-77-0 CAPLUS

CN Glycinamide, N-(1H-indol-2-ylcarbonyl)-D-seryl-N-(4-methoxyphenyl)-N-(1-methylethyl)-N2-phenyl- (9CI) (CA INDEX NAME)

L3 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



L3 ANSWER 11 OF 15 CAPIUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1996:298392 CAPIUS

DOCUMENT NUMBER:

124:343106

TITLE:

Preparation of N-aryl-Nu-
(indolylcarbonyl)glycineamides and analogs as
cholecystokinin receptor agonists

INVENTOR(S):

Bras, Jean-Pierre; De Cointet, Paul; Despeyroux,
Pierre; Frehel, Daniel; Gully, Danielle; Maffrand,
Jean-Pierre; Bignon, Eric

PATENT ASSIGNEE(S):

Sanofi, Pr.

SOURCE:

Eur. Pat. Appl., 78 pp.

DOCUMENT TYPE:

CODEN: EPXQDW

LANGUAGE:

Patent

FAMILY ACC. NUM. COUNT:

French

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 697403	A1	19960221	EP 1995-401912	19950818
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT,				
SE				
FR 2723739	A1	19960223	FR 1994-10165	19940819
FR 2723739	B1	19970214		
IL 114925	A1	19991231	IL 1995-114925	19950814
US 5731340	A	19980324	US 1995-515640	19950816
CA 2156455	AA	19960220	CA 1995-2156455	19950818
CA 2156455	C	20001107		
FI 9503898	A	19960220	FI 1995-3898	19950818
NO 9503260	A	19960220	NO 1995-3260	19950818
AU 9530146	A1	19960229	AU 1995-30146	19950818
AU 699581	B2	19981210		
ZA 9506915	A	19960325	ZA 1995-6915	19950818
JP 08119923	A2	19960514	JP 1995-210481	19950818
HU 72743	A2	19960528	HU 1995-2443	19950818
CN 1131144	A	19960918	CN 1995-116378	19950818
RU 2130923	C1	19950527	RU 1995-113885	19950818
KR 190672	B1	19990601	KR 1995-25817	19950819
PRIORITY APPLN. INFO.:			FR 1994-10165	A 19940819

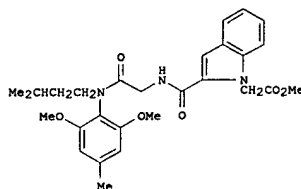
OTHER SOURCE(S):

MARPAT 124:343106

GI

L3 ANSWER 11 OF 15 CAPIUS COPYRIGHT 2006 ACS on STN

(Continued)



II

AB R1NRCOCHR2NHCOR3 [I: R = substituted 2-(MeO)C6H4, -2-methoxy-3-pyridyl, -4-methoxy-5-pyrimidinyl, naphthyl; R1 = (ar)alkyl, cycloalkyl(alkyl), alkoxyalkyl, (CH2)1-3COR4, etc.; R2 = H, (un)substituted alkyl; R3 = naphthyl, quinolyl, indolyl, etc.; R4 = pyrrolidino, piperidino, morpholino] were prepared as CCK-A receptor agonists. Thus, Me2CHCH2CH2COCl

was amidated by 2,6-dimethoxy-4-methylaniline and the reduced product amidated by Me3CO2CNHCH2CO2H to give, after deprotection, N-(2,6-dimethoxy-4-methylphenyl)-N-isopentylglycineamide which was amidated by N-(methoxycarbonylmethyl)indole-2-carboxylic acid to give title compound II. Selected I had ED50 of 1mg/kg i.p. for blockage of gastric emptying in mice.

IT 176526-29-7P 176526-34-4P 176526-40-2P
176526-41-3P 176526-42-4P 176526-43-5P
176526-44-6P 176526-45-7P 176526-46-8P
176526-47-9P 176526-48-0P 176526-49-1P
176526-50-4P 176526-51-5P 176526-73-1P
176526-75-3P 176526-78-7P 176526-85-5P
176526-88-8P 176526-92-4P 176526-93-5P
176526-99-1P 176527-09-6P 176527-12-1P
176527-14-3P 176527-17-6P 176527-20-1P
176527-22-3P 176527-25-6P 176527-29-0P
176527-33-6P 176527-34-7P 176527-36-9P
176527-38-1P 176527-41-6P 176527-43-0P
176527-67-6P 176527-70-1P 176527-75-6P
176527-82-5P 176527-86-9P 176528-11-3P
176528-12-4P

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-aryl-Nu-(indolylcarbonyl)glycineamides and analogs as cholecystokinin receptor agonists)

RN 176526-29-7 CAPIUS

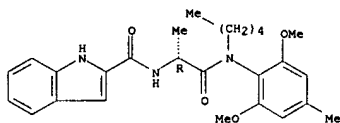
CN 1H-Indole-2-carboxamide,

N-[2-[(2,6-dimethoxy-4-methylphenyl)pentylamino]-1-methyl-2-oxoethyl]-, (R)- (9CI) (CA INDEX NAME)

L3 ANSWER 11 OF 15 CAPIUS COPYRIGHT 2006 ACS on STN

(Continued)

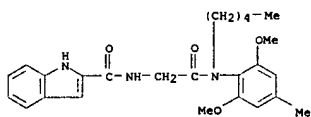
Absolute stereochemistry. Rotation (-).



RN 176526-34-4 CAPIUS

CN 1H-Indole-2-carboxamide,

N-[2-[(2,6-dimethoxy-4-methylphenyl)pentylamino]-2-oxoethyl]-, (9CI) (CA INDEX NAME)

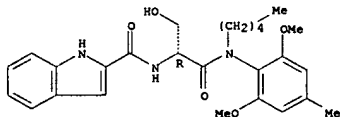


RN 176526-40-2 CAPIUS

CN 1H-Indole-2-carboxamide,

N-[2-[(2,6-dimethoxy-4-methylphenyl)pentylamino]-1-(hydroxymethyl)-2-oxoethyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



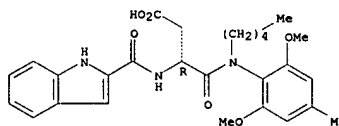
RN 176526-41-3 CAPIUS

CN Butanoic acid,
4-[(2,6-dimethoxy-4-methylphenyl)pentylamino]-3-[(1H-indol-2-ylcarbonyl)amino]-4-oxo-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L3 ANSWER 11 OF 15 CAPIUS COPYRIGHT 2006 ACS on STN

(Continued)

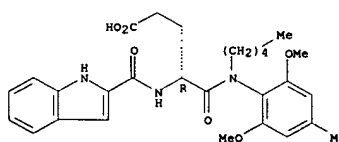


RN 176526-42-4 CAPIUS

CN Pentanoic acid,

5-[(2,6-dimethoxy-4-methylphenyl)pentylamino]-4-[(1H-indol-2-ylcarbonyl)amino]-5-oxo-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

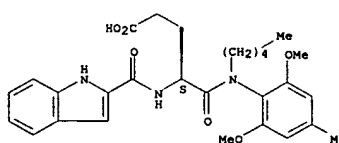


RN 176526-43-5 CAPIUS

CN Pentanoic acid,

5-[(2,6-dimethoxy-4-methylphenyl)pentylamino]-4-[(1H-indol-2-ylcarbonyl)amino]-5-oxo-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

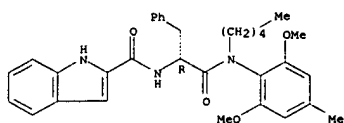


RN 176526-44-6 CAPIUS

CN 1H-Indole-2-carboxamide,
N-[2-[(2,6-dimethoxy-4-methylphenyl)pentylamino]-2-oxo-1-(phenylmethyl)ethyl]-, (R)- (9CI) (CA INDEX NAME)

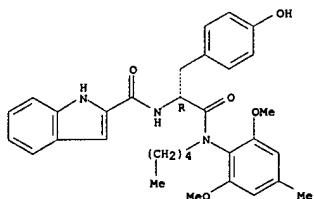
Absolute stereochemistry. Rotation (-).

L3 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)



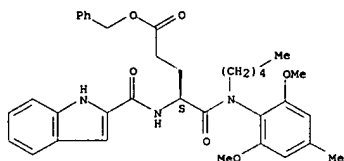
RN 176526-45-7 CAPLUS
CN 1H-Indole-2-carboxamide,
N-[2-[(2,6-dimethoxy-4-methylphenyl)pentylamino]-
1-[(4-hydroxyphenyl)methyl]-2-oxoethyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

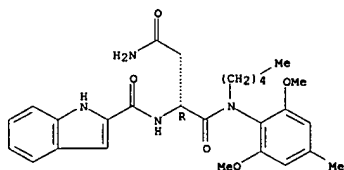


RN 176526-46-8 CAPLUS
CN Pentanoic acid,
5-[(2,6-dimethoxy-4-methylphenyl)pentylamino]-4-[(1H-indol-
2-ylcarbonyl)amino]-5-oxo-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

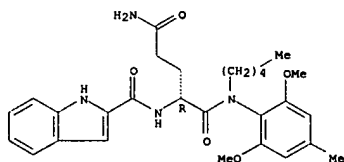


L3 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)



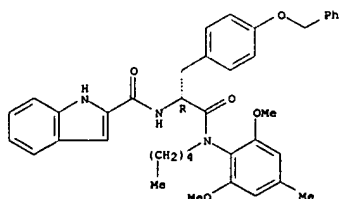
RN 176526-50-4 CAPLUS
CN Pentanediamide, N1-(2,6-dimethoxy-4-methylphenyl)-2-[(1H-indol-2-
ylcarbonyl)amino]-N1-pentyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 176526-51-5 CAPLUS
CN 1H-Indole-2-carboxamide,
N-[2-[(2,6-dimethoxy-4-methylphenyl)pentylamino]-
2-oxo-1-[(4-(phenylmethoxy)phenyl)methyl]ethyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

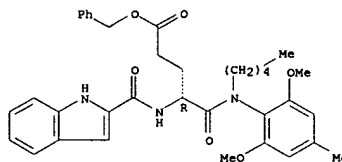


RN 176526-73-1 CAPLUS

L3 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)

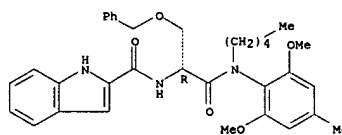
RN 176526-47-9 CAPLUS
CN Pentanoic acid,
5-[(2,6-dimethoxy-4-methylphenyl)pentylamino]-4-[(1H-indol-
2-ylcarbonyl)amino]-5-oxo-, phenylmethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 176526-48-0 CAPLUS
CN 1H-Indole-2-carboxamide,
N-[2-[(2,6-dimethoxy-4-methylphenyl)pentylamino]-
2-oxo-1-[(phenylmethoxy)methyl]ethyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



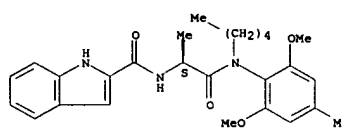
RN 176526-49-1 CAPLUS
CN Butanediamide, N1-(2,6-dimethoxy-4-methylphenyl)-2-[(1H-indol-2-
ylcarbonyl)amino]-N1-pentyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)

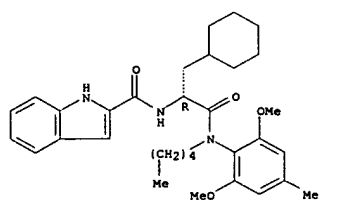
CN 1H-Indole-2-carboxamide,
N-[2-[(2,6-dimethoxy-4-methylphenyl)pentylamino]-
1-methyl-2-oxoethyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



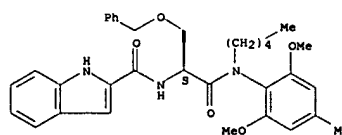
RN 176526-75-3 CAPLUS
CN 1H-Indole-2-carboxamide, N-[1-(cyclohexylmethyl)-2-[(2,6-dimethoxy-4-
methylphenyl)pentylamino]-2-oxoethyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



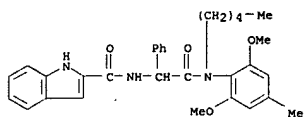
RN 176526-79-7 CAPLUS
CN 1H-Indole-2-carboxamide,
N-[2-[(2,6-dimethoxy-4-methylphenyl)pentylamino]-
2-oxo-1-[(phenylmethoxy)methyl]ethyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



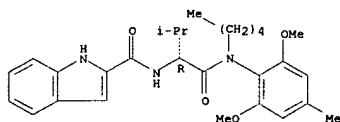
RN 176526-85-5 CAPLUS
CN 1H-Indole-2-carboxamide,
N-[2-[(2,6-dimethoxy-4-methylphenyl)pentylamino]-
2-oxo-1-[(phenylmethoxy)methyl]ethyl]-, (S)- (9CI) (CA INDEX NAME)

L3 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)



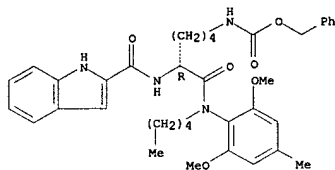
RN 176526-88-8 CAPLUS
CN 1H-Indole-2-carboxamide, N-[1-[(2,6-dimethoxy-4-methylphenyl)pentylamino]carbonyl]-2-methylpropyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 176526-92-4 CAPLUS
CN Carbanic acid, [6-[(2,6-dimethoxy-4-methylphenyl)pentylamino]-5-[(1H-indol-2-ylcarbonyl)amino]-6-oxohexyl]-, phenylmethyl ester, (R)- (9CI) (CA INDEX NAME)

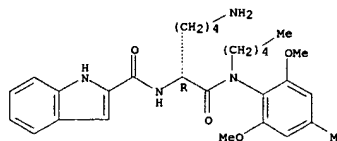
Absolute stereochemistry. Rotation (-).



RN 176526-93-5 CAPLUS

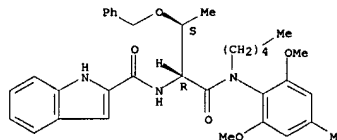
L3 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 1H-Indole-2-carboxamide, N-[5-amino-1-[(2,6-dimethoxy-4-methylphenyl)pentylamino]carbonyl]pentyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

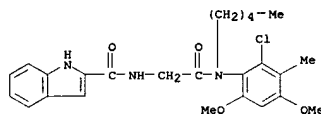


RN 176526-99-1 CAPLUS
CN 1H-Indole-2-carboxamide, N-[1-[(2,6-dimethoxy-4-methylphenyl)pentylamino]carbonyl]-2-(phenylmethoxy)propyl]-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

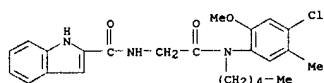


RN 176527-09-6 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(2-chloro-4,6-dimethoxy-3-methylphenyl)pentylamino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

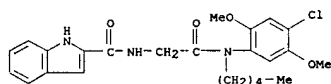


RN 176527-12-1 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(4-chloro-2-methoxy-5-methylphenyl)pentylamino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

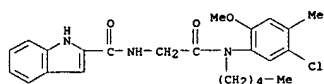
L3 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



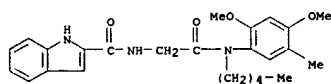
RN 176527-14-3 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(4-chloro-2,5-dimethoxyphenyl)pentylamino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 176527-17-6 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(5-chloro-2-methoxy-4-methylphenyl)pentylamino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

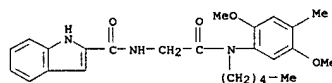


RN 176527-20-1 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(2,5-dimethoxy-4-methylphenyl)pentylamino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

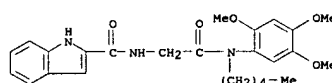


RN 176527-22-3 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(2,5-dimethoxy-4-methylphenyl)pentylamino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

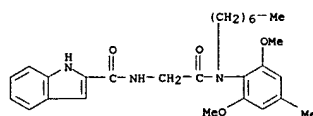
L3 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



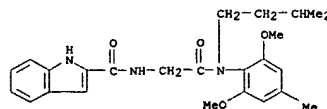
RN 176527-25-6 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-oxo-2-(pentyl(2,4,5-trimethoxyphenyl)amino)ethyl]- (9CI) (CA INDEX NAME)



RN 176527-29-0 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(2,6-dimethoxy-4-methylphenyl)heptylamino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

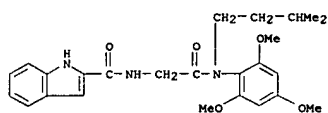


RN 176527-33-6 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(2,6-dimethoxy-4-methylphenyl)(3-methylbutyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

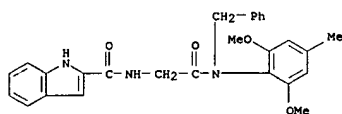


RN 176527-34-7 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(3-methylbutyl)(2,4,6-trimethoxyphenyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

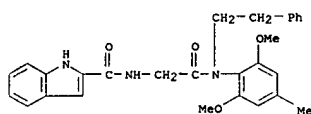
L3 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 176527-36-9 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(2,6-dimethoxy-4-methylphenyl)(phenylmethyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

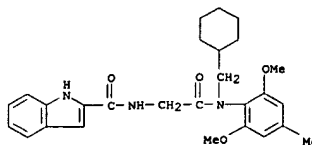


RN 176527-38-1 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(2,6-dimethoxy-4-methylphenyl)(2-phenylethyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

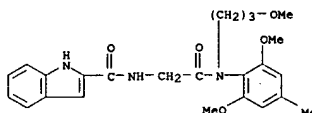


RN 176527-41-6 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(cyclohexylmethyl)(2,6-dimethoxy-4-methylphenyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

L3 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

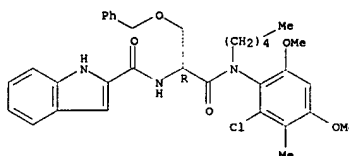


RN 176527-45-0 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(2,6-dimethoxy-4-methylphenyl)(3-methoxypropyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 176527-67-6 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(2-chloro-4,6-dimethoxy-3-methylphenyl)pentylamino]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]-, (R)- (9CI) (CA INDEX NAME)

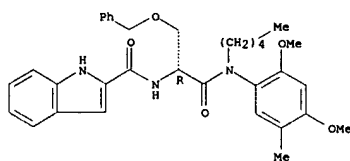
Absolute stereochemistry. Rotation (-).



RN 176527-70-1 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(2,4-dimethoxy-5-methylphenyl)pentylamino]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]-, (R)- (9CI) (CA INDEX NAME)

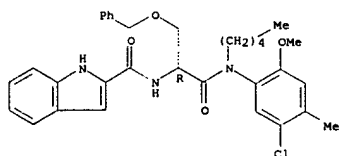
Absolute stereochemistry. Rotation (-).

L3 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



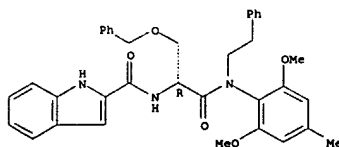
RN 176527-75-6 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(5-chloro-2-methoxy-4-methylphenyl)pentylamino]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 176527-82-5 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(2,6-dimethoxy-4-methylphenyl)(2-phenylethyl)amino]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]-, (R)- (9CI) (CA INDEX NAME)

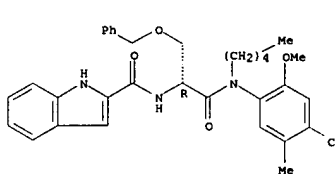
Absolute stereochemistry. Rotation (-).



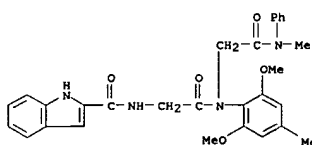
RN 176527-86-9 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(4-chloro-2-methoxy-5-methylphenyl)pentylamino]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

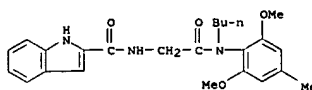
L3 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 176528-11-3 CAPLUS
CN Glycinamide, N-(1H-indol-2-ylcarbonyl)glycyl-N2-(2,6-dimethoxy-4-methylphenyl)-N-methyl-N-phenyl- (9CI) (CA INDEX NAME)



RN 176528-12-4 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(butyl(2,6-dimethoxy-4-methylphenyl)amino)-2-oxoethyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 12 OF 15 CAPIUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1992:256040 CAPIUS

DOCUMENT NUMBER: 116:256040

TITLE: Preparation of amino acid derivatives as digestive tract hormone antagonists
 INVENTOR(S): Taushima, Tadahiko; Ishihara, Teruichi; Hagishita, Yamaji; Seno, Kaoru; Ihii, Nobuhiro
 PATENT ASSIGNEE(S): Shionogi and Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 46 pp.
 CODEN: JKOXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03294253	A2	19911225	JP 1990-96661	19900412
PRIORITY APPLN. INFO.:			JP 1990-96661	19900412

OTHER SOURCE(S): MURPAT 116:256040

GI For diagram(s), see printed CA Issue.

AB R12(CH2)nCH(CONR3R4)NHC(X)YR2 [I; R1 = CO2H, CONH2, cyano, tetrazolyl, (un)substituted aryl; R2 = (un)substituted aryl; R3, R4 = H, alkyl, (un)substituted aryl; n = 0-2; X = O, S; Y = single bond, NH; Z = CAH,

CO: A = H, halo, OH; provided that when A = H, R1 = aryl or R1 = tetrazolyl and R2 = aryl, which are antagonists of cholecystokinin (CCK) or gastrin receptors, are prepared. Thus, carbamoylation of (R)-R5-Asp-N[(CH2)4Me]2 (II; R5 = H).HCl with m-MeC6H4NCO in the presence of Et3N in CH2Cl2 gave 65.2% II (R5 = m-MeC6H4NCO). Title compound (III) in vitro inhibited

the binding of [3H]-CCK-8 to CCK-A and CCK-B receptors of a mouse spleen and brain, resp., with IC50 of 200 and 43,000, resp. Approx. 130 I were prepared and addnl. 46 I were similarly tested.

IT 141470-25-9P 141470-45-3P 141470-60-2P

141470-66-8P 141470-69-1P 141483-77-4P

141491-71-6P 141491-72-7P 141491-86-3P

RL: BAC (Biological activity or effector, except adverse); BSU

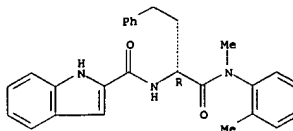
(Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation of, as cholecystokinin and gastrin antagonist)

RN 141470-25-9 CAPIUS

CN 1H-Indole-2-carboxamide, N-[1-[(methyl(2-methylphenyl)amino)carbonyl]-3-phenylpropyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

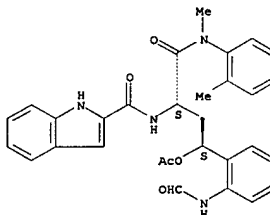
L3 ANSWER 12 OF 15 CAPIUS COPYRIGHT 2006 ACS on STN (Continued)



RN 141470-45-3 CAPIUS

CN 1H-Indole-2-carboxamide, N-[3-(acetyloxy)-3-[2-(formylamino)phenyl]-1-[[methyl(2-methylphenyl)amino]carbonyl]propyl]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

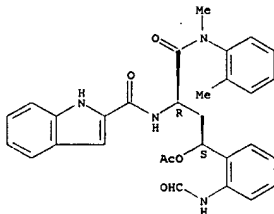


RN 141470-60-2 CAPIUS

CN 1H-Indole-2-carboxamide, N-[3-(acetyloxy)-3-[2-(formylamino)phenyl]-1-[[methyl(2-methylphenyl)amino]carbonyl]propyl]-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

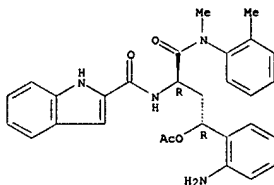
L3 ANSWER 12 OF 15 CAPIUS COPYRIGHT 2006 ACS on STN (Continued)



RN 141470-66-8 CAPIUS

CN 1H-Indole-2-carboxamide, N-[3-(acetyloxy)-3-[2-(aminophenyl)-1-[[methyl(2-methylphenyl)amino]carbonyl]propyl]-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

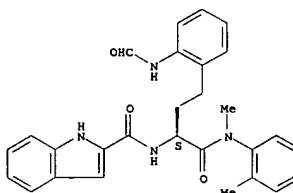


RN 141470-69-1 CAPIUS

CN 1H-Indole-2-carboxamide, N-[3-(acetyloxy)-3-[2-(aminophenyl)-1-[[methyl(2-methylphenyl)amino]carbonyl]propyl]-, [S]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

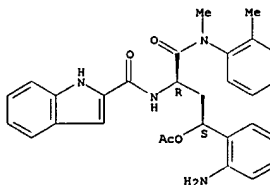
L3 ANSWER 12 OF 15 CAPIUS COPYRIGHT 2006 ACS on STN (Continued)



RN 141483-77-4 CAPIUS

CN 1H-Indole-2-carboxamide, N-[3-(acetyloxy)-3-[2-(aminophenyl)-1-[[methyl(2-methylphenyl)amino]carbonyl]propyl]-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

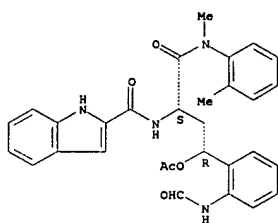


RN 141491-71-6 CAPIUS

CN 1H-Indole-2-carboxamide, N-[3-(acetyloxy)-3-[2-(aminophenyl)-1-[[methyl(2-methylphenyl)amino]carbonyl]propyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

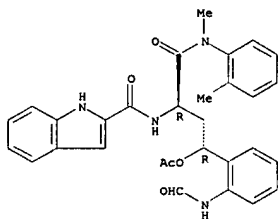
Absolute stereochemistry.

L3 ANSWER 12 OF 15 CAPIUS COPYRIGHT 2006 ACS on STN (Continued)



RN 141491-72-7 CAPIUS
 CN 1H-Indole-2-carboxamide, N-[3-(acetyloxy)-3-[2-(formylamino)phenyl]-1-[(methyl(2-methylphenyl)amino)carbonyl]propyl]-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

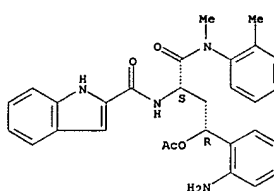
Absolute stereochemistry.



RN 141491-86-3 CAPIUS
 CN 1H-Indole-2-carboxamide, N-[3-(acetyloxy)-3-(2-aminophenyl)-1-[(methyl(2-methylphenyl)amino)carbonyl]propyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 12 OF 15 CAPIUS COPYRIGHT 2006 ACS on STN (Continued)



L3 ANSWER 13 OF 15 CAPIUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1992:214907 CAPIUS
 DOCUMENT NUMBER: 116:214907
 TITLE: Preparation of N-acetyl-N-phenylglycinanides as drugs
 INVENTOR(S): Bourzat, Jean Dominique; Capet, Marc; Cotrel, Claude;
 Guyon, Claude; Manfre, Franco; Roussel, Gerard
 PATENT ASSIGNEE(S): Rhone-Poulenc Rorer SA, Fr.
 SOURCE: PCT Int., 145 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9112264	A1	19910822	WO 1991-FR87	19910206
W: AU, CA, HU, JP, KR, NO, SU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
FR 2658196	A1	19910816	FR 1990-1553	19900209
FR 2658196	B1	19920424		
FR 2667319	A2	19920403	FR 1990-11916	19900927
FR 2667319	B2	19921120		
FR 2667863	A2	19920417	FR 1990-12594	19901012
FR 2667863	B2	19921127		
CA 2072981	AA	19910810	CA 1991-2072981	19910206
AU 9173295	A1	19910903	AU 1991-73295	19910206
AU 639081	B2	19930715		
EP 514442	A1	19921125	EP 1991-903956	19910206
EP 514442	B1	19940427		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
HU 61575	A2	19930128	HU 1992-2585	19910206
JP 05506643	T2	19930930	JP 1991-504069	19910206
AT 104989	E	19940515	AT 1991-903956	19910206
ES 2052372	T3	19940701	ES 1991-903956	19910206
ZA 9100946	A	19911127	ZA 1991-946	19910208
US 5382590	A	19950117	US 1992-867690	19920708
NO 9203079	A	19920805	NO 1992-3079	19920805
PRIORITY APPLN. INFO.:			FR 1990-1553	A 19900209
			FR 1990-11916	A 19900927
			FR 1990-12594	A 19901012
			EP 1991-903956	A 19910206
			WO 1991-FR87	A 19910206

OTHER SOURCE(S): MARPAT 116:214907

GI For diagram(s), see printed CA Issue.
 AB The title compds. [I: R1 = H, alkyl, alkoxy, carbonyl, (substituted) phenyl;

R2 = H, (substituted) alkyl; R3 = alkyl, phenylalkyl, indanyl, cycloalkylalkyl, (substituted) Ph, quinolinyl; or R2R3N = heterocyclyl;
 R4 = (substituted) Ph, (substituted) phenylamino, etc.], having affinity for the cholecystokinin and the gastrin receptors and thus useful as their inhibitors, are prepared Hydrazinolysis of PhNHCOCH2NPhCOCH2O [Q = phthalimido] (preparation given) gave PhNHCOCH2NPhCOCH2NH2, which in THF

was

L3 ANSWER 13 OF 15 CAPIUS COPYRIGHT 2006 ACS on STN (Continued)
 reacted with 3-MeC6H4NCO at ca. 25° for 12 h to give title compd. I
 [R1 = R2 = H, R3 = Ph, R4 = 3-MeC6H4NH]. The IC50 values of I against

CCK were generally ≤1000 nM. Some pharmaceutical dosage forms contg. I were formulated.

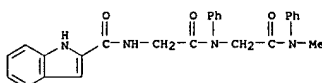
IT 138561-81-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as antagonist of CCK and gastrin)

RN 138561-81-6 CAPIUS

CN Glycinamide, N-(1H-indol-2-ylcarbonyl)glycyl-N-methyl-N,N2-diphenyl- (9CI)

(CA INDEX NAME)



L3 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1992:106815 CAPLUS

DOCUMENT NUMBER: 116:106815

TITLE: Preparation of derivatives of N-phenylglycinamide as CCK and gastrin antagonists.
 INVENTOR(S): Bourzat, Jean Dominique; Capet, Marc; Cotrel, Claude; Guyon, Claude; Manfre, Franco; Roussel, Gerard
 PATENT ASSIGNEE(S): Rhone-Poulenc Rorer SA, Fr.
 SOURCE: FCT Int. Appl., 100 pp.
 CODEN: FIKXD2

DOCUMENT TYPE: Patent

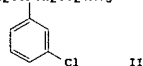
LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9113907	A1	19910919	WO 1991-FR174	19910305
W: AU, CA, HU, JP, KR, NO, SU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
FR 2659334	A1	19910913	FR 1990-2889	19900307
FR 2659334	B1	19920515		
FR 2667864	A2	19920417	FR 1990-12727	19901016
FR 2667864	B2	19940805		
AU 9174920	A1	19911010	AU 1991-74920	19910305
AU 635832	B2	19930401		
EP 518960	A1	19921223	EP 1991-905832	19910305
EP 518960	B1	19940914		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
HU 61576	A2	19930128	HU 1992-2865	19910305
JP 05504967	T2	19930729	JP 1991-505781	19910305
ES 2059128	T3	19941101	ES 1991-905832	19910305
RU 2076108	C1	19970327	RU 1991-5053153	19910305
ZA 9101637	A	19911224	ZA 1991-1637	19910306
IL 97476	A1	19960723	IL 1991-97476	19910307
NO 9203456	A	19920904	NO 1992-3456	19920904
US 5475106	A	19951212	US 1992-924065	19921008
PRIORITY APPLN. INFO.:			FR 1990-2889	A 19900307
			FR 1990-12727	A 19901016
			WO 1991-FR174	A 19910305

OTHER SOURCE(S): MARPAT 116:106815
 GI

R⁵NHCH₂CONCH₂CO₂Me₃

L3 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1991:164819 CAPLUS

DOCUMENT NUMBER: 114:164819

TITLE: Preparation and formulation of ureidoalkanamides, peptides, and analogs as cholecystokinin receptor antagonists.
 INVENTOR(S): Bourzat, Jean Dominique; Capet, Marc; Cotrel, Claude; Guyon, Claude; Manfre, Franco; Roussel, Gerard
 PATENT ASSIGNEE(S): Rhone-Poulenc Sante, Fr.
 SOURCE: Eur. Pat. Appl., 28 pp.
 CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 397556	A1	19901114	EP 1990-401218	19900509
EP 397556	B1	19931020		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
FR 2646847	A1	19901116	FR 1989-6250	19890512
FR 2646847	B1	19910712		
AT 96146	E	19931115	AT 1990-401218	19900509
ES 2060097	T3	19941116	ES 1990-401218	19900509
CA 2016439	AA	19901112	CA 1990-2016439	19900510
JP 03056453	A2	19910312	JP 1990-120182	19900511
US 5223529	A	19930629	US 1990-522137	19900511
PRIORITY APPLN. INFO.:			FR 1989-6250	A 19890512
			EP 1990-401218	A 19900509

OTHER SOURCE(S): CASREACT 114:164819; MARPAT 114:164819

AB R³CONH₂CONR¹Ph [I: R¹ = CHR²CO₂R⁴, CH₂CONR⁵R⁶, phenylalkyl, (un)substituted Ph; R³ = 1- or 2-naphthyl, 2- or 3-indolyl, (un)substituted PhNH; R⁴ = H, (cyclo)alkyl, Ph, phenylalkyl, etc.; R⁵, R⁶ = alkyl; NR⁵R⁶ = (alkyl)pyrrolidino; R⁸ = H, alkyl, Ph; Z = CH₂, CH₂CH₂, CHR⁷; R⁷ = alkyl, Ph, PhCH₂, etc.] were prepared. Thus, PhNH₂ was

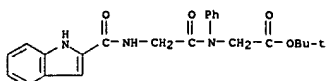
condensed with BrCH₂CO₂Me₃ and the product condensed with ClCH₂COCl to give ClCH₂CONPhCO₂Me₃ which was condensed with K phthalimide and the product hydroxylolized to give H₂NCH₂CONPhCH₂CO₂Me₃. The latter was condensed with 3-MeC₆H₄NCO to give 3-MeC₆H₄NHCONHCH₂CONPhCH₂CO₂Me₃. I have IC₅₀ ≤ 103 nM for cholecystokinin receptor binding.

IT 133115-11-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as cholecystokinin receptor antagonist)

RN 133115-11-4 CAPLUS

CN Glycine, N-[N-(1H-indol-2-ylcarbonyl)glycyl]-N-phenyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L3 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

AB R²COCHR¹NR⁴COCH₂NHCOR³ [I: R¹ = H, alkyl, alkoxy, carbonyl, (substituted) phenyl; R² = alkoxy, (substituted) cycloalkoxy, cycloalkylalkoxy, phenylalkoxy, polyfluoroalkoxy, cinnamyl, (substituted) amino; R³ = (substituted) phenylamino, etc.; R⁴ = Ph substituted by a halogen, alkyl, alkoxy, etc.], useful as antagonists against CCK and gastrin (no data), are prepared N-(Chlorophenyl)acetamide II [R⁵ = H] (preparation given)

in THF

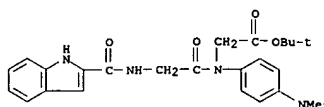
was reacted with m-MeC₆H₄NCO at 20° to give II [R⁵ = m-MeC₆H₄NCO].
 Tablets, injections, etc., containing I were formulated.

IT 139088-22-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as CCK and gastrin antagonist)

RN 139088-22-5 CAPLUS

CN Glycine, N-[4-(dimethylamino)phenyl]-N-(1H-indol-2-ylcarbonyl)glycyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



X

L3 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Expanded Search

10/506,592

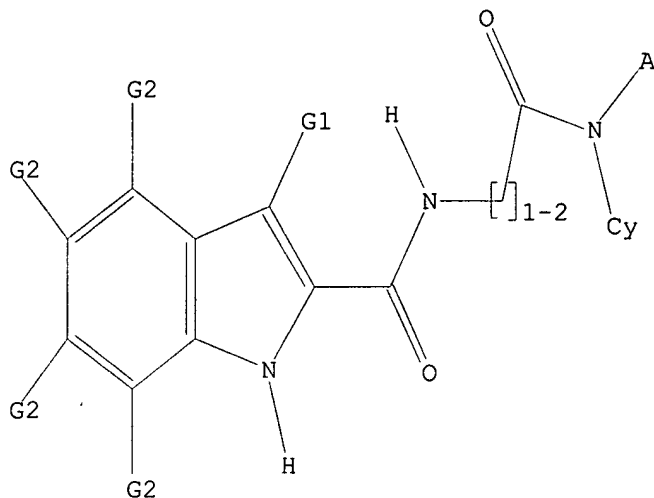
05/10/2006

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



G1 H, Me

G2 H, X, Me

Methyl also

Structure attributes must be viewed using STN Express query preparation.

=> s l1 full

FULL SEARCH INITIATED 12:49:56 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 95559 TO ITERATE

100.0% PROCESSED 95559 ITERATIONS
SEARCH TIME: 00.00 07

141 ANSWERS

L2 141 SEA SSS FUL L1

=>

---Logging off of STN---

=>

Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

166.94

167.15

Same # of Hits